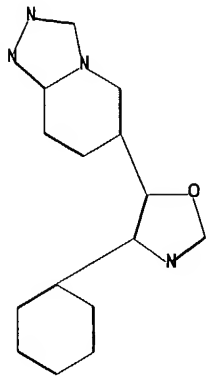
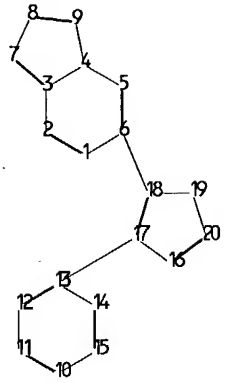
10649216

```
=> d his

     (FILE 'HOME' ENTERED AT 11:15:21 ON 14 DEC 2004)

     FILE 'REGISTRY' ENTERED AT 11:15:38 ON 14 DEC 2004
L1              STRUCTURE UPLOADED
L2            3 S L1
L3           54 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 11:16:25 ON 14 DEC 2004
L4            8 S L3

=> d l1
L1 HAS NO ANSWERS
L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
```

\STNEXP4\QUERIES\10649216.str





ng nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20
ain bonds :
6-18 13-17
ng bonds :
1-2 1-6 2-3 3-4 3-7 4-5 4-9 5-6 7-8 8-9 10-11 10-15 11-12 12-13 13-14 14-15
16-17 16-20 17-18 18-19 19-20
act/norm bonds :
1-2 1-6 2-3 3-4 3-7 4-5 4-9 5-6 7-8 8-9 16-17 16-20 17-18 18-19 19-20
act bonds :
6-18 13-17
rmalized bonds :
10-11 10-15 11-12 12-13 13-14 14-15

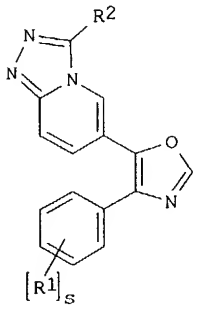
tch level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom

=> d 1-8 bib abs hitstr

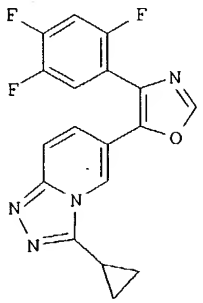
L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:654777 CAPLUS
DN 141:190791
TI Preparation of cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase
IN Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.
PA Pfizer Inc, USA
SO U.S. Pat. Appl. Publ., 24 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004157877	A1	20040812	US 2003-649216	20030827
PRAI US 2002-407086P	P	20020830		

OS MARPAT 141:190791
GI



I

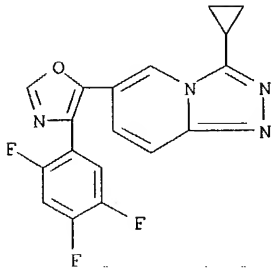


II

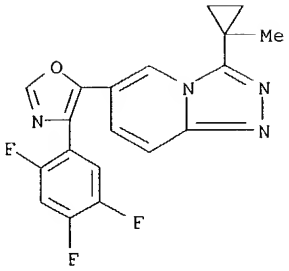
AB The title compds. [I; R1 = F; s = 3; R2 = (un)substituted cycloalkyl] which are potent inhibitors of MAP kinases, preferably p38 kinase, and therefore useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders, were prepared E.g., a multi-step synthesis of II, starting from 2,5-dibromopyridine, was given. The pharmaceutical composition comprising the compound I is claimed.

IT **668990-95-2P 668990-96-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)

RN 668990-95-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-96-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



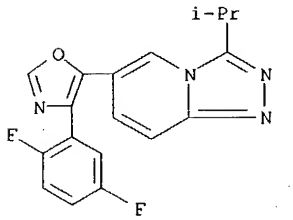
L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:589283 CAPLUS
DN 141:140449
TI Preparation of novel crystalline forms of 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine.
IN Kang, Ming; Li, Zheng Jane; Li, Zhengong Bryan; Tao, Yong
PA Pfizer Inc, USA
SO U.S. Pat. Appl. Publ., 35 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004143119	A1	20040722	US 2003-649194	20030827
PRAI US 2002-407158P	P	20020830		

AB Crystalline forms of 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine (I) having specified x-ray crystallog., 13C solid state NMR, and differential scanning calorimetry data were prepared Thus, N-α-tosyl-(2,5-difluorobenzyl)isocyanide (preparation given), 3-isopropyl-1,2,4-triazolo[4,3-a]pyridine-6-carboxaldehyde (preparation given), and K2CO3 were refluxed together for 22 h in MeCN to give 61% I. This was triturated in EtOAc/hexane followed by drying in vacuo at 40° for 48 h to give I form A.

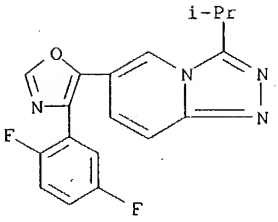
IT **668981-02-0P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of novel crystalline forms of isopropyldifluorophenyloxazolyltriazolopyridine)

RN 668981-02-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT **668981-04-2P 668981-05-3P 668981-07-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel crystalline forms of isopropyldifluorophenyloxazolyltriazolopyridine)

RN 668981-04-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

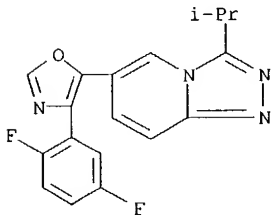


● HCl

RN 668981-05-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

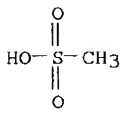
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

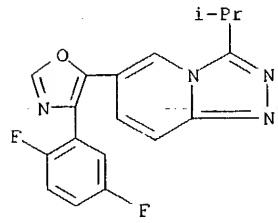
CRN 75-75-2
CMF C H4 O3 S



RN 668981-07-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

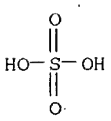
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

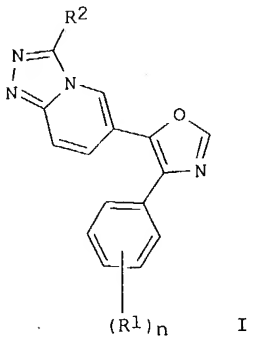
CRN 7664-93-9
CMF H2 O4 S



L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:392324 CAPLUS
DN 140:406810
TI Preparation of alkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolopyridines as MAP kinases, in particular p38 kinase inhibitors
IN Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.
PA Pfizer Inc, USA
SO U.S. Pat. Appl. Publ., 31 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004092547	A1	20040513	US 2003-649227	20030827
PRAI US 2002-407088P	P	20020830		

OS MARPAT 140:406810
GI



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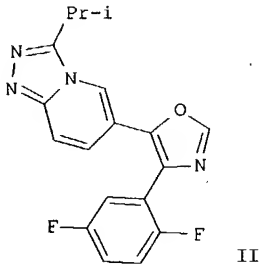


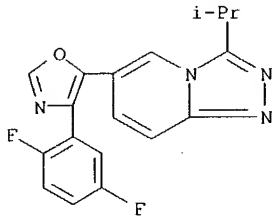
II

AB Title compds. I [wherein R1 = F; n = 2; R2 = alkyl, optionally substituted by halo, OH, alkoxy, and alkoxycarbonyl; with certain compds. absent; their pharmaceutically acceptable salts] were prepared as potent inhibitors of MAP kinases, preferably p38 kinase. For example, II was prepared by Pd-cross coupling of 6-(4-bromooxazol-5-yl)-3-isopropyl-[1,2,4]-triazolo[4,3-a]pyridine (preparation given) with 2,5-difluoroboronic acid in the presence of TEA/EtOH/H2O. Selected I had an IC50 <10 μM in the TNF-α and MAPKAP in vitro assays, and an EC50 <50 mg/kg in the in vivo TNFα assay. I are useful for treating inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

IT **668981-02-0P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(p38 kinase inhibitor; preparation of alkyldifluorophenyloxazolyltriazolopyridines as MAP kinases, in particular p38 kinase inhibitors)

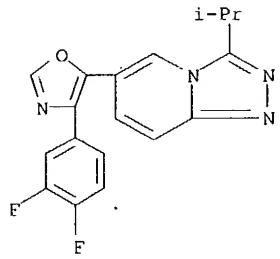
RN 668981-02-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

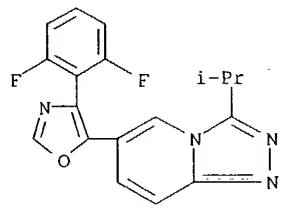


IT **459448-00-1P**, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-03-1P**, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-04-2P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride **668981-05-3P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate **668981-06-4P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate **668981-07-5P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine sulfate **668990-77-0P**, 3-tert-Butyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-78-1P**, 3-tert-Butyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-97-4P**, 3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(p38 kinase inhibitor; preparation of alkyldifluorophenyloxazolyltriazolopyridines as MAP kinases, in particular p38 kinase inhibitors)

RN 459448-00-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

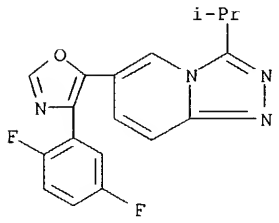


RN 668981-03-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-04-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-

methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

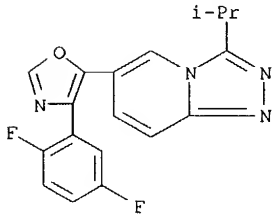


● HCl

RN 668981-05-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

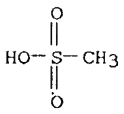
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

CRN 75-75-2
CMF C H4 O3 S



RN 668981-06-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

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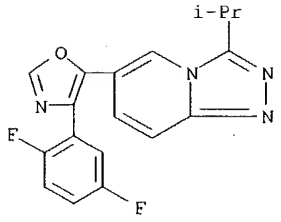
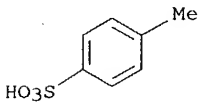
CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

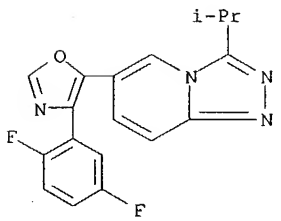
CRN 104-15-4
CMF C7 H8 O3 S



RN 668981-07-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

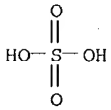
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O

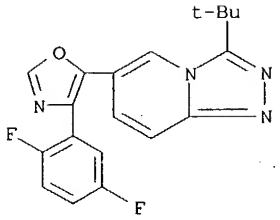


CM 2

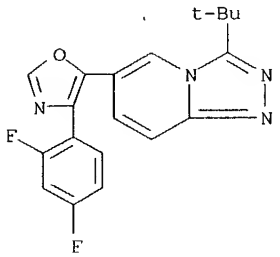
CRN 7664-93-9
CMF H2 O4 S



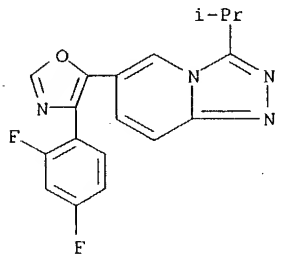
RN 668990-77-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 668990-78-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



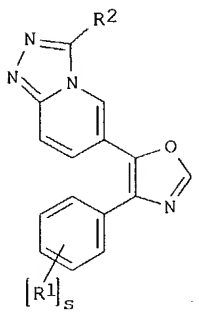
RN 668990-97-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:372880 CAPLUS
DN 140:391284
TI Preparation of cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase
IN Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.
PA Pfizer Inc, USA
SO U.S. Pat. Appl. Publ., 24 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004087615	A1	20040506	US 2003-649255	20030827
PRAI	US 2002-407489P	P	20020830		

OS MARPAT 140:391284
GI



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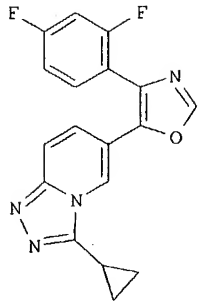


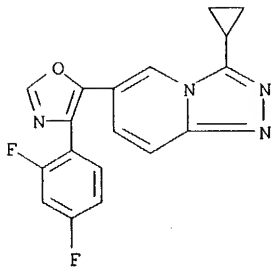
II

AB The title compds. [I; R1 = F; s = 2; R2 = (un)substituted cycloalkyl] which are potent inhibitors of MAP kinases, preferably p38 kinase, and therefore useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders, were prepared E.g., a multi-step synthesis of II, starting from 2,5-dibromopyridine, was given. The pharmaceutical composition comprising the compound I is claimed.

IT **668990-79-2P**, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)

RN 668990-79-2 CAPLUS

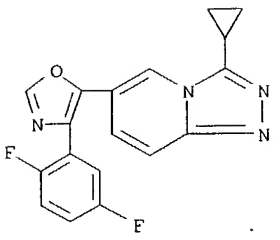
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



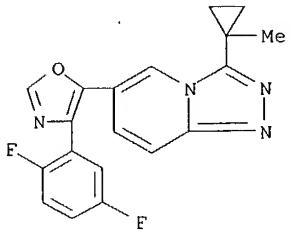
IT **668990-83-8P**, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine **668990-84-9P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)[1,2,4]triazolo[4,3-a]pyridine **668990-85-0P**, 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)[1,2,4]triazolo[4,3-a]pyridine **668990-86-1P**, 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)

RN 668990-83-8 CAPLUS

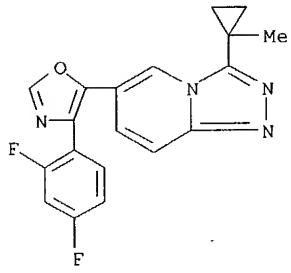
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



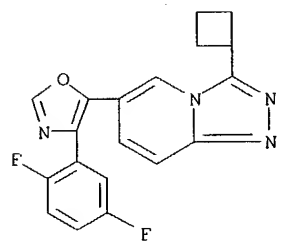
RN 668990-84-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)



RN 668990-85-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)



RN 668990-86-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



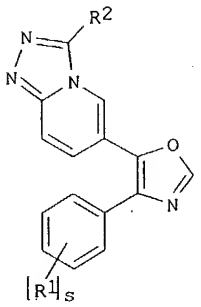
L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:331789 CAPLUS
DN 140:357352
TI Preparation of 3-alkyl-6-[4-(trifluorophenyl)-oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridines as potent inhibitors of MAP kinases
IN Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.

10649216

PA Pfizer Inc, USA
SO U.S. Pat. Appl. Publ., 25 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004077682	A1	20040422	US 2003-649265	20030827
PRAI	US 2002-407089P	P	20020830		

OS MARPAT 140:357352
GI



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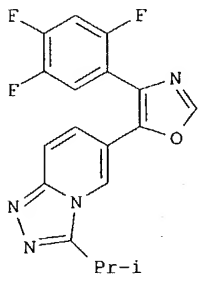
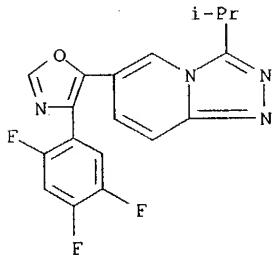


II

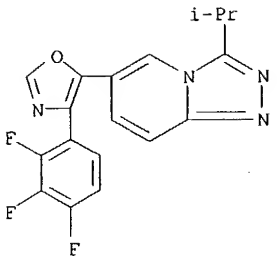
AB The title compds. [I; R1 = F; s = 3; R2 = alkyl optionally substituted by halo, OH, alkoxy, etc.] which are potent inhibitors of MAP kinases, preferably p38 kinase, were prepared Thus, reacting [α-(p-toluenesulfonyl)-2,4,5-trifluorobenzyl]isonitrile with 3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine-6-carboxaldehyde (prepns. given) in the presence of K2CO3 in MeCN at 70°C for 22 h afforded 48% II. All compds. I that were tested had an IC50 of <10 μM in the TNFα and MAPKAP in vitro assays and ED50 of <50 mg/kg in the in vivo TNFα assay. The compds. I are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. The pharmaceutical composition comprising the compound I is claimed.

IT **668990-87-2P 668990-90-7P 668990-91-8P**
668990-92-9P 668990-93-0P 668990-94-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-alkyl-6-[4-(trifluorophenyl)-oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridines as potent inhibitors of MAP kinases)

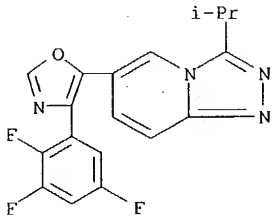
RN 668990-87-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



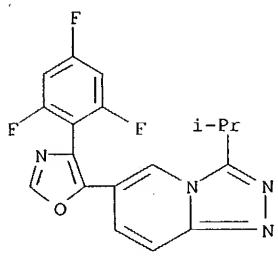
RN 668990-90-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



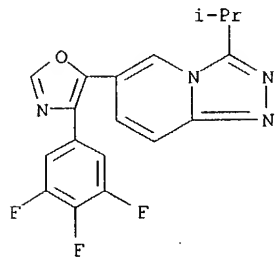
RN 668990-91-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



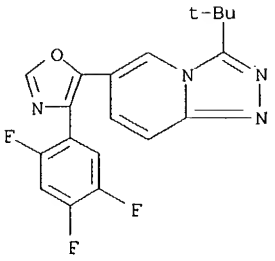
RN 668990-92-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,6-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-93-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



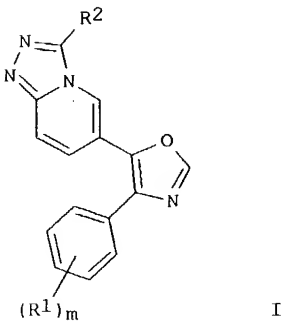
RN 668990-94-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1,1-dimethylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:203834 CAPLUS
DN 140:235722
TI Preparation of 6-[4-(di- or trifluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine as inhibitors of mitogen-activated protein (MAP) kinases
IN Dombroski, Mark Anthony; Letavic, Michael Anthony; McClure, Kim Francis
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004020440	A1	20040311	WO 2003-IB3847	20030819
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004053958	A1	20040318	US 2003-649236	20030827
PRAI	US 2002-407177P	P	20020830		

OS MARPAT 140:235722
GI



I

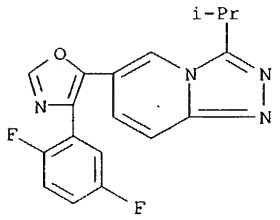
AB The present invention relates to novel triazolo-pyridines of the formula (I) [wherein R1 is fluoro; m = 2,3; R2 is C3-6 cycloalkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, C1-4 alkyl, hydroxy, C1-6 alkoxy and C1-6 alkyl-CO-O; or R2 is C1-6 alkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, C1-6 alkyl, hydroxy, C1-6 alkoxy and C1-6 alkyl-CO-O; with the proviso that said compound of this formula cannot be 6-[4-(2,4-difluorophenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine or 6-[4-(3,4-difluorophenyl)-

oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine] or pharmaceutically acceptable salt thereof; to intermediates for their preparation, and to pharmaceutical compns. containing them and to their medicinal use. The compds. I are potent inhibitors of mitogen-activated protein (MAP) kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. Thus, a mixture of [α-(p-toluenesulfonyl)-2,6-difluorobenzyl]isonitrile (1.79 g, 5.84 mmol), 3-isopropyl-[1,2,4]triazolo[4,3-a]-6-pyridinecarboxaldehyde > (1.10 g, 5.84 mmol), potassium carbonate (1.05 g, 7.59 mmol) and acetonitrile (17.5 mL) was refluxed for 22 h to give, after workup and silica gel chromatog., 6-[4-(2,6-difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine as a yellow solid. A tablet formulation containing 6-[4-(2,5-difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine was prepared, which can be administered to a human from one to four times a day for inhibiting cartilage damage or treating osteoarthritis.

IT **668981-02-0P**
RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(X-ray crystalog. data and polymorphism; preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668981-02-0 CAPLUS

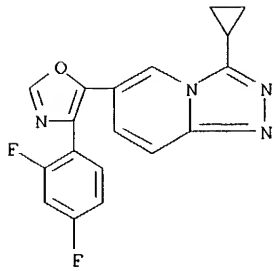
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT **668990-79-2P**, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668990-79-2 CAPLUS

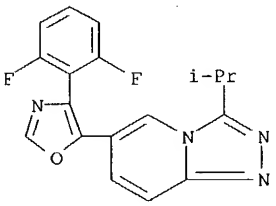
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



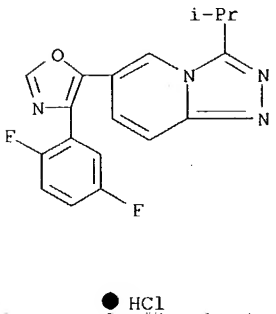
IT **668981-03-1P**, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-04-2P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride **668981-05-3P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate **668981-06-4P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-

yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate **668981-07-5P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine sulfate **668990-77-0P**, 3-tert-Butyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-78-1P**, 3-tert-Butyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine **668990-83-8P**, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine **668990-84-9P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-[1,2,4]triazolo[4,3-a]pyridine **668990-85-0P**, 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-[1,2,4]triazolo[4,3-a]pyridine **668990-86-1P**, 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-87-2P**, 3-Isopropyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-90-7P**, 3-Isopropyl-6-[4-(2,3,4-trifluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine **668990-91-8P**, 3-Isopropyl-6-[4-(2,3,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-92-9P**, 3-Isopropyl-6-[4-(2,4,6-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-93-0P**, 3-Isopropyl-6-[4-(3,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-94-1P**, 3-tert-Butyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-95-2P**, 3-Cyclopropyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-96-3P**, 3-(1-Methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-97-4P**, 3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668981-03-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-04-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

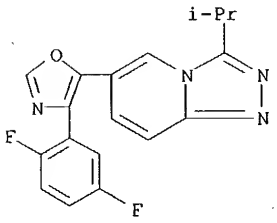


● HCl

RN 668981-05-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

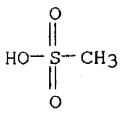
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

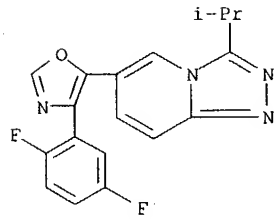
CRN 75-75-2
CMF C H4 O3 S



RN 668981-06-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

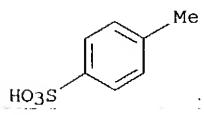
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

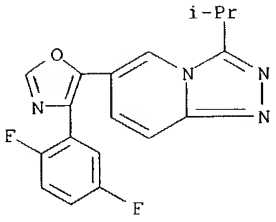
CRN 104-15-4
CMF C7 H8 O3 S



RN 668981-07-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

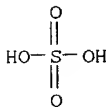
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O

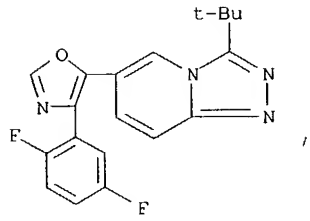


CM 2

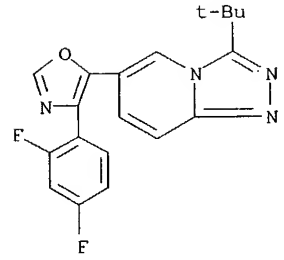
CRN 7664-93-9
CMF H2 O4 S



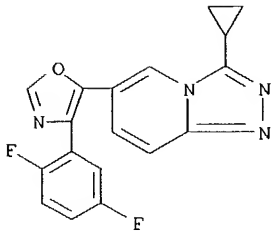
RN 668990-77-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



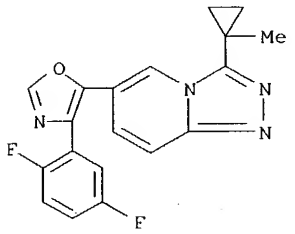
RN 668990-78-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



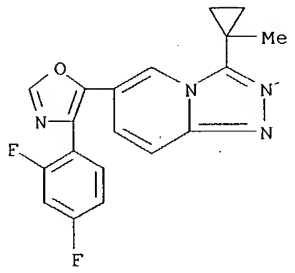
RN 668990-83-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



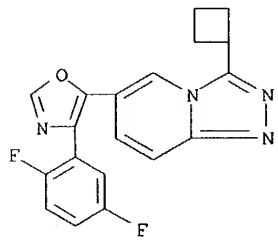
RN 668990-84-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)



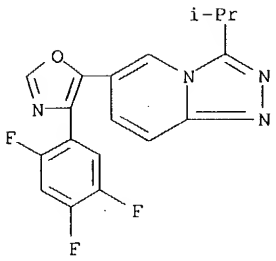
RN 668990-85-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)



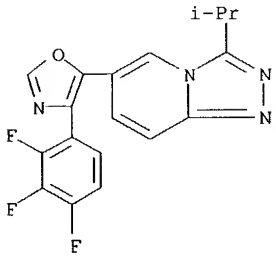
RN 668990-86-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



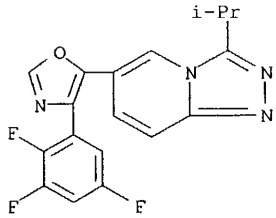
RN 668990-87-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



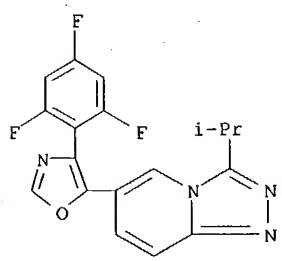
RN 668990-90-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



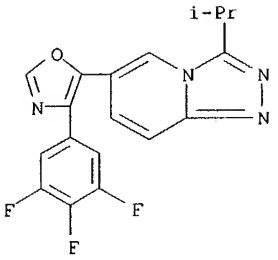
RN 668990-91-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



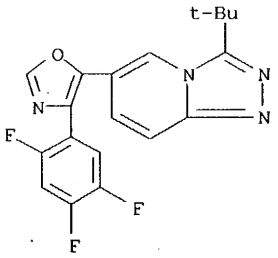
RN 668990-92-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,6-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



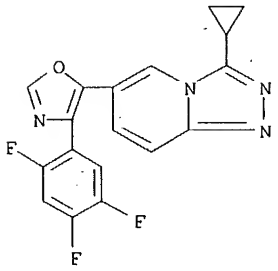
RN 668990-93-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



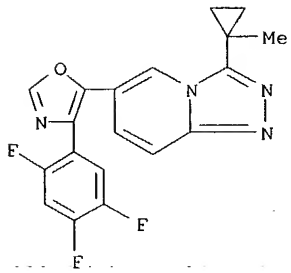
RN 668990-94-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1,1-dimethylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



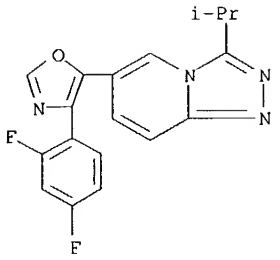
RN 668990-95-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-96-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-97-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

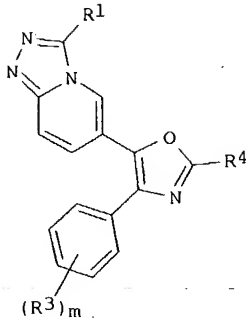


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:203832 CAPLUS
DN 140:235721
TI Novel processes and intermediates for preparing [1,2,4]triazolo[4,3-a]pyridines
IN Buzon, Richard Allen Sr.; Castaldi, Michael James; Li, Zhengong Bryan; Ripin, David Harold Brown; Tao, Yong
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004020438	A2	20040311	WO 2003-IB3669	20030818
	WO 2004020438	A3	20040722		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004053959	A1	20040318	US 2003-649247	20030827
PRAI	US 2002-407085P	P	20020830		

OS CASREACT 140:235721; MARPAT 140:235721
GI



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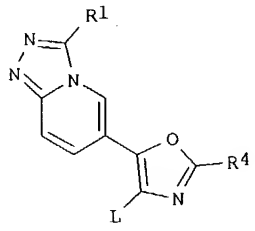

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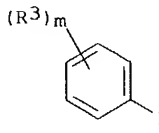


III

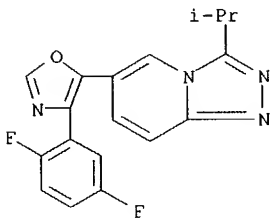
AB The present invention relates and intermediates to a novel process for

preparing triazolo-pyridines of the formula (I) [R1 = H, cyano, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-10 cycloalkyl, Ph, C1-10 heteroaryl, C1-10 heterocyclyl or NH2; R3 = halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, perhalo-C1-6 alkyl, Ph, C1-10 heteroaryl, C1-10 heterocyclyl, C3-10 cycloalkyl, HO, C1-6 alkoxy, perhalo-C1-10 alkoxy, PhO, C1-10 heteroaryloxy, C1-10 heterocyclyloxy-C3-10 cycloalkyloxy, C1-6 alkylthio, C1-16 alkylsulfonyl, C1-6 alkylsulfamoyl, amino, mono - or di(C1-6 alkyl)amino, C1-6 sulfonylamino, C1-6 alkyl-carbonylamino, etc.; or two adjacent R2 taken together with the carbon atoms to which they are attached to form a five to six membered carbocyclic or heterocyclic ring; m = an integer from 0-5; R4 = H, F, Cl, R5-B-(CH2)n-; n = n integer from 0-6; B = a bond, (CHR6), O, S, SO2, CO, O-CO, CO-O, CO-NR6, R6N, R6NSO2, R6NCO, SO2NR6, R6NCONR7, O-CONR6 or R6NCO-O; R5 = H, CF3, cyano, each (un)substituted Ph, C1-10 heterocyclyl, C1-10 heteroaryl, or C3-10 cycloalkyl, etc.; R6 = H, C1-6 alkylsulfonyl, C1-6 alkyl] or acceptable salts thereof, e.g., comprising reacting 6-(oxazol-5-yl)[1,2,4]triazolo[4,3-a]pyridines (II) (L = a leaving group and R1 and R4 are as defined above) with phenylboronoic acids (III) and a transition metal catalyst. The compds. I prepared by the methods of the present invention are potent inhibitors of mitogen-activated protein (MAP) kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. Thus, 6-(4-bromooxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine (33.0 g, 0.107 mol), 2,5-difluorophenylboronic acid (25.34 g, 0.1605 mol), Pd(PPh3)4 (12.36 g, 0.0107 mol), Et3N (22.37 mL, 0.1605 mol), 2B ethanol (495 mL), and water (33 mL), were added to a 2 L 4 neck round bottom flask (equipped with mech. stirring, nitrogen, heating mantle, temperature controller, and a condenser), stirred while heating to 65 to 70°, and kept stirring overnight at .apprx.70°. Two addnl. difluorophenylboronic acid (8.5 g, 0.054 mol) and Et3N (7.53 mL, 0.054 mol), were added and each time the reaction was allowed to proceed overnight at 70°. Toluene (30 mL) was added and the reaction was allowed to go overnight once again at 70°, treated with H2O (495 mL), and pot-granulated for 4 h at 20 to 25°. The solids were collected by vacuum filtration, washed with 2B ethanol/H2O (50:50) (25 mL of each), and dried in a vacuum oven at 45° for 4 ho under full vacuum to afford 14.4 g 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine (40.6% yield, 93.4% purity by HPLC).

IT **668981-02-0P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine derivative or cyclocondensation of α-tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde)

RN 668981-02-0 CAPLUS

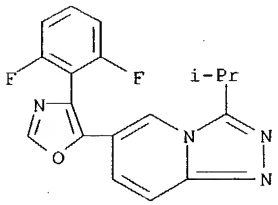
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



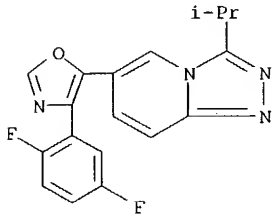
IT **668981-03-1P**, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-04-2P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride **668981-05-3P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate **668981-06-4P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate **668981-07-5P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine sulfate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine derivative or cyclocondensation of α-tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde)

RN 668981-03-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-04-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

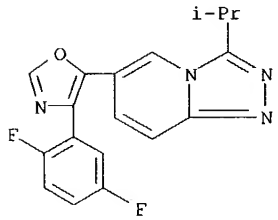


● HCl

RN 668981-05-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

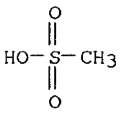
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

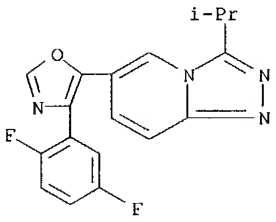
CRN 75-75-2
CMF C H4 O3 S



RN 668981-06-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

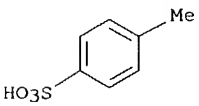
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

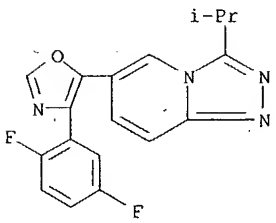
CRN 104-15-4
CMF C7 H8 O3 S



RN 668981-07-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

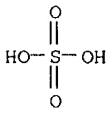
CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O



CM 2

CRN 7664-93-9
CMF H2 O4 S



L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:716275 CAPLUS
DN 137:232658
TI Preparation of 6-(phenylheterocyclyl)-[1,2,4]triazolo[4,3-a]pyridines as anti-inflammatory agents
IN Dombroski, Mark Anthony; Duplantier, Allen Jacob; Laird, Ellen Ruth; Letavic, Michael Anthony; McClure, Kim Francis
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002072579	A1	20020919	WO 2002-IB424	20020208
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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	CA 2440222	AA	20020919	CA 2002-2440222	20020208
	EP 1370559	A1	20031217	EP 2002-710260	20020208
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	BR 2002007990	A	20040427	BR 2002-7990	20020208
	JP 2004522799	T2	20040729	JP 2002-571495	20020208
	US 2003096838	A1	20030522	US 2002-94760	20020311
	US 6696464	B2	20040224		
	NO 2003003969	A	20031013	NO 2003-3969	20030908
PRAI	US 2001-274840P	P	20010309		
	WO 2002-IB424	W	20020208		

OS MARPAT 137:232658
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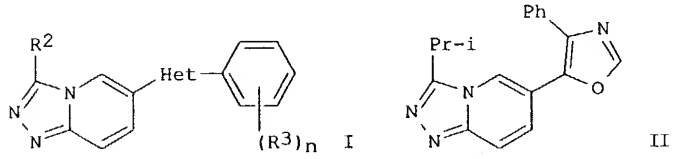


I

II

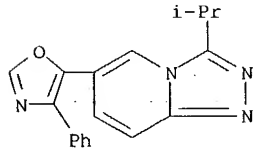
AB Title compds. I [wherein Het = (un)substituted pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, or isothiazolyl; R2 = H, alkenyl, alkynyl, or (un)substituted (cyclo)alkyl, Ph, heteroaryl, or heterocyclyl, or amino; R3 = halo, (cyclo)alkyl(oxy), (perhalo)alkyl, alkenyl, alkynyl, Ph, heteroaryl(oxy), heterocyclyl(oxy), OH, (perhalo)alkoxy, PhO, alkylthio, alkylsulfonyl, alkylaminosulfonyl, NO2, (un)substituted amino, carbamoyl, etc.; n = 0-5; or pharmaceutically acceptable salts thereof] were prepared as potent inhibitors of MAP kinases, preferably p38 kinase (no data). For example, 6-chloronicotinic acid was condensed with N,O-dimethylhydroxylamine•HCl (96%). Treatment of the amide with (i-Bu)2AlH gave the aldehyde (24%), which was coupled with (phenyl)(p-tolylsulfonyl)methylisocyanide to afforded 2-chloro-5-(4-

phenyloxazol-5-yl)pyridine (71%). Conversion to the hydrazine (100%), followed by coupling with isobutyryl chloride and cyclization using POCl3 (32%), produced II. I are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases, and other disorders (no data).

IT **459447-61-1P**, 3-Isopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-64-4P**, 3-Ethyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-66-6P**, 3-Cyclopropyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-67-7P**, 3-Cyclobutyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-69-9P**, 3-Difluoromethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-71-3P**, 3-(Isoxazol-5-yl)-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-72-4P**, 6-(4-Phenyloxazol-5-yl)-3-(2,2,2-trifluoroethyl)-[1,2,4]triazolo[4,3-a]pyridine **459447-73-5P**, 3-Cyclobutyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-74-6P**, 3-Cyclopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-75-7P**, 3-Ethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-76-8P**, 3-Ethyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-77-9P**, 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-78-0P**, 3-Cyclobutyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-79-1P**, 3-Isopropyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-80-4P**, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-82-6P**, 3-Cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-83-7P**, 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine **459447-84-8P**, 3-Isopropyl-6-(2-methyl-4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-88-2P**, 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]-pyridine **459447-89-3P**, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]acetic acid ethyl ester **459447-90-6P**, 3-(2-Chlorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-91-7P**, 6-[4-(2-Fluoro-5-methylphenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-92-8P** **459447-93-9P**, 3-(2-Fluorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-94-0P**, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]dimethylamine **459447-95-1P**, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine **459447-96-2P**, 6-[4-(3-Chloro-4-fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-97-3P**, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-98-4P**, 3-(2-Chlorophenyl)-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459448-00-1P**, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459448-01-2P**, 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine **459448-02-3P**, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(anti-inflammatory agent; preparation of (phenylheterocyclyl)triazolopyridines as anti-inflammatory agents)

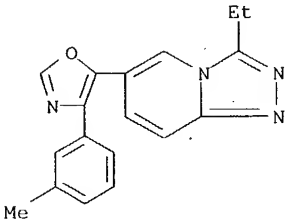
RN 459447-61-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(4-phenyl-5-oxazolyl)-(9CI) (CA INDEX NAME)

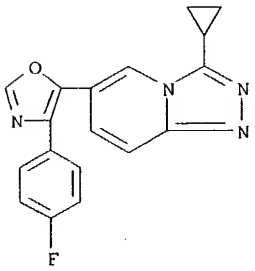


RN 459447-64-4 CAPLUS

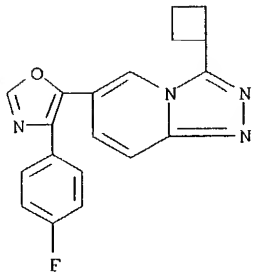
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



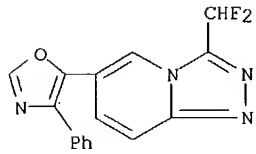
RN 459447-66-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



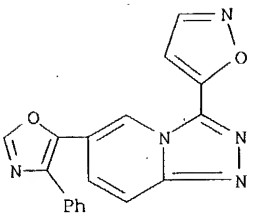
RN 459447-67-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



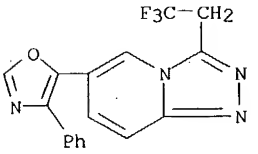
RN 459447-69-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(difluoromethyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



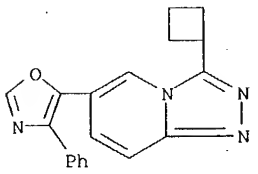
RN 459447-71-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(5-isoxazolyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



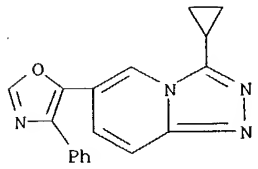
RN 459447-72-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-phenyl-5-oxazolyl)-3-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



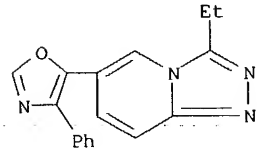
RN 459447-73-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 459447-74-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

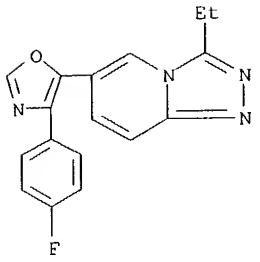


RN 459447-75-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

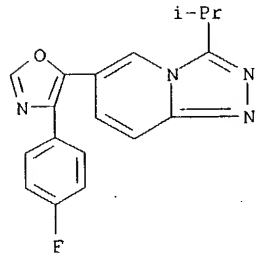


RN 459447-76-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(4-fluorophenyl)-5-oxazolyl]-

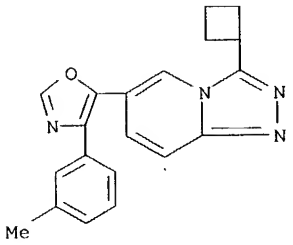
(9CI) (CA INDEX NAME)



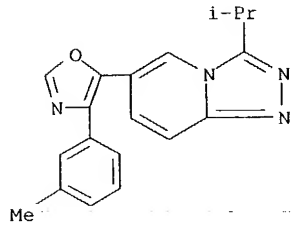
RN 459447-77-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



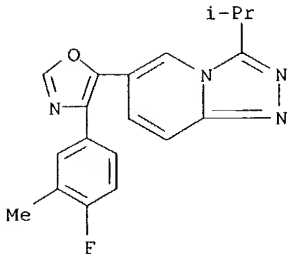
RN 459447-78-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



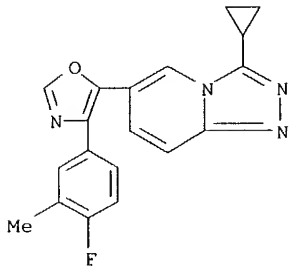
RN 459447-79-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



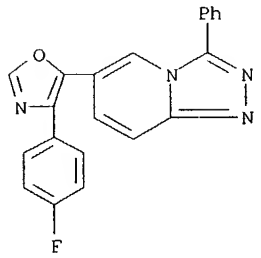
RN 459447-80-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



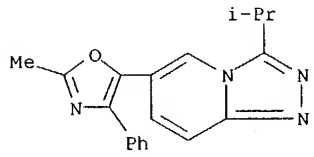
RN 459447-82-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



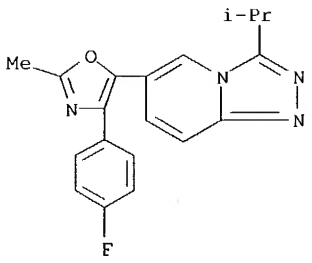
RN 459447-83-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)



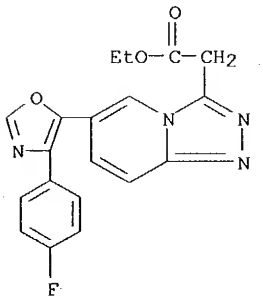
RN 459447-84-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(2-methyl-4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



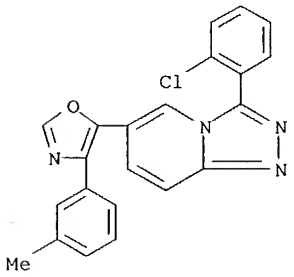
RN 459447-88-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



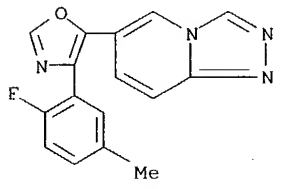
RN 459447-89-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine-3-acetic acid, 6-[4-(4-fluorophenyl)-5-oxazolyl]-, ethyl ester (9CI) (CA INDEX NAME)



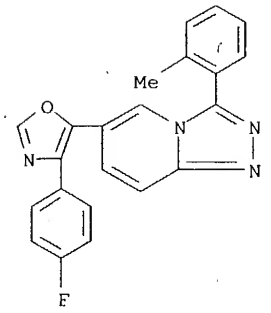
RN 459447-90-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



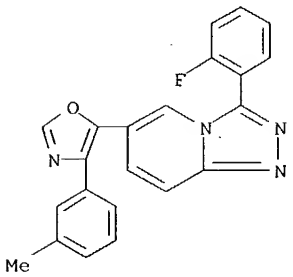
RN 459447-91-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2-fluoro-5-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



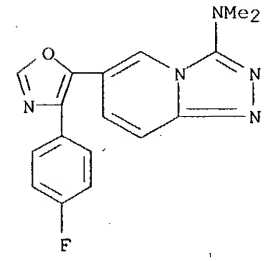
RN 459447-92-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)



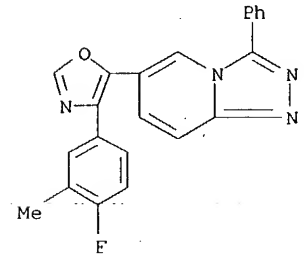
RN 459447-93-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-fluorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-94-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3-amine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

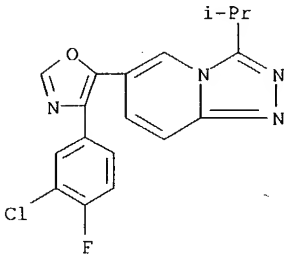


RN 459447-95-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

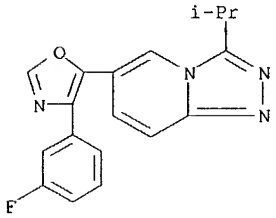


RN 459447-96-2 CAPLUS

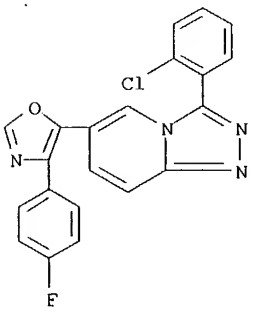
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-chloro-4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



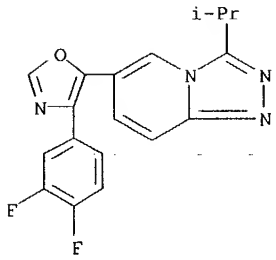
RN 459447-97-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



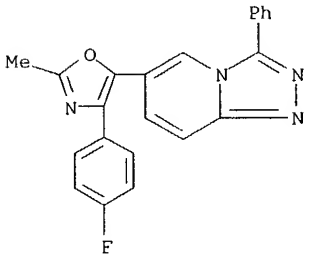
RN 459447-98-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



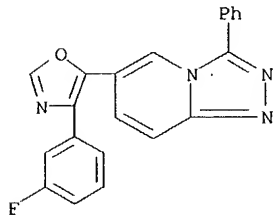
RN 459448-00-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459448-01-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 459448-02-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT